

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L12	21	LEVENTER adj STEVEn	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/12/12 17:35
L13	51	HARRIS adj HERBERT	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/12/12 17:35
L14	41	KUCHARIK adj 'ROBERT	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/12/12 17:36
L15	41	KUCHARIK adj ROBERT	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/12/12 17:36
L16	76	l12 l13 l14	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/12/12 17:36
L18	21	l16 and 2,3-benzodiazepines	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/12/12 17:40
L19	6125	xia.in.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/12/12 17:40
L20	1	l19 and 2,3-benzodiazepines	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/12/12 17:45

EAST Search History

L21	2	"5492907".pn.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/12/12 17:45
L22	28	l16 and benzodiazepine	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/12/12 18:47
L23	0	tofosipam	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/12/12 18:48
L24	178	tofisopam	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/12/12 18:48
L25	13	l24 same metabolite\$	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/12/12 18:48
L26	16	("3736315" "4322346" "4423044" "4614740" "4835152" "4840948" "5204343" "5288863" "5459137" "5519019" "5521174" "5639751" "5891871" "6075018" "6080736").PN.	US-PGPUB; USPAT; USOCR	OR	OFF	2007/12/12 20:01
L27	9175	l26 and 1-(3-hydroxy-4-methoxyphenyl)-4-methyl-5-ethyl-7,8-dimethoxy-5H-2,3-benzodiazepine	US-PGPUB; USPAT; USOCR	OR	OFF	2007/12/12 19:54
L28	770	l26 and 1-(3-hydroxy-4-methoxyphenyl)-4-methyl-5-ethyl-7,8-dimethoxy-5H-2,3-benzodiazepine	US-PGPUB; USPAT; USOCR	OR	OFF	2007/12/12 19:54
L29	2	l26 and dimethoxy-5H-2,3-benzodiazepine	US-PGPUB; USPAT; USOCR	OR	OFF	2007/12/12 19:57
L30	2	l26 and hydroxy and methoxyphenyl	US-PGPUB; USPAT; USOCR	OR	OFF	2007/12/12 19:57
L31	12	l26 and compound.clm.	US-PGPUB; USPAT; USOCR	OR	OFF	2007/12/12 20:01
L32	5	l26 and compounds.clm.	US-PGPUB; USPAT; USOCR	OR	OFF	2007/12/12 20:01
L33	13	l26 and formula.clm.	US-PGPUB; USPAT; USOCR	OR	OFF	2007/12/12 20:01

EAST Search History

L34	0	I26 and formulae.clm.	US-PGPUB; USPAT; USOCR	OR	OFF	2007/12/12 20:01
L35	13	I31 I32 I33	US-PGPUB; USPAT; USOCR	OR	OFF	2007/12/12 20:02

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NEWS 3 JUL 02 SCISEARCH enhanced with complete author names
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NEWS 5 JUL 02 CA/Capplus enhanced with utility model patents from China
NEWS 6 JUL 16 Capplus enhanced with French and German abstracts
NEWS 7 JUL 18 CA/Capplus patent coverage enhanced
NEWS 8 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 9 JUL 30 USGENE now available on STN
NEWS 10 AUG 06 CAS REGISTRY enhanced with new experimental property tags
NEWS 11 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 12 AUG 13 CA/Capplus enhanced with additional kind codes for granted patents
NEWS 13 AUG 20 CA/Capplus enhanced with CAS indexing in pre-1907 records
NEWS 14 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
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NEWS 16 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data
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NEWS 20 SEP 17 CA/Capplus enhanced with printed CA page images from 1967-1998
NEWS 21 SEP 17 Capplus coverage extended to include traditional medicine patents
NEWS 22 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 23 OCT 02 CA/Capplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 24 OCT 19 BEILSTEIN updated with new compounds
NEWS 25 NOV 15 Derwent Indian patent publication number format enhanced
NEWS 26 NOV 19 WPIX enhanced with XML display format
NEWS 27 NOV 30 ICSD reloaded with enhancements
NEWS 28 DEC 04 LINPADOCDB now available on STN

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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* * * * *

STN maintenance downtime to be extended

The normal maintenance downtime for STN will be extended on December 15. STN will be unavailable beginning Saturday, December 15, at 17:00 U.S. Eastern Standard Time until Sunday, December 16, at 01:00.

The normal schedule for STN maintenance downtime (22:00 to 01:00) will resume on December 22.

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FILE 'HOME' ENTERED AT 17:14:41 ON 12 DEC 2007

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

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DICTIONARY FILE UPDATES: 11 DEC 2007 HIGHEST RN 957570-32-0

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<http://www.cas.org/support/stngen/stndoc/properties.html>

=> s 730962-81-9

L1 1 730962-81-9
(730962-81-9/RN)

=> d l1

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN

RN 730962-81-9 REGISTRY

ED Entered STN: 23 Aug 2004

CN Phenol, 5-[(5S)-5-ethyl-7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl]-2-methoxy- (CA INDEX NAME)

OTHER NAMES:

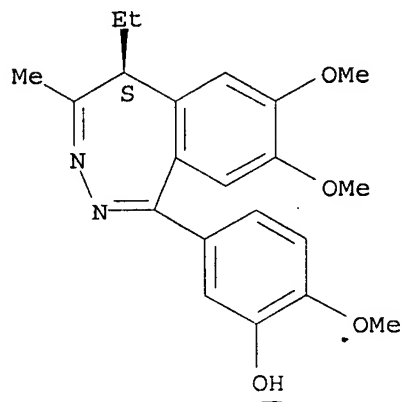
CN (S)-1-(3-Hydroxy-4-methoxyphenyl)-4-methyl-5-ethyl-7,8-dimethoxy-5H-2,3-benzodiazepine

FS STEREOSEARCH

MF C21 H24 N2 O4

SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

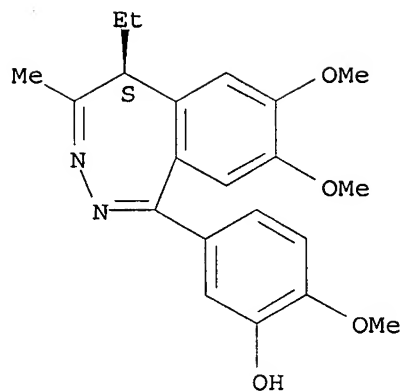
=> s 730962-81-9/cn
L2 0 730962-81-9/CN

=> s 730962-81-9/rn
L3 1 730962-81-9/RN

=> d l3

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN
RN 730962-81-9 REGISTRY
ED Entered STN: 23 Aug 2004
CN Phenol, 5-[(5S)-5-ethyl-7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl]-
2-methoxy- (CA INDEX NAME)
OTHER NAMES:
CN (S)-1-(3-Hydroxy-4-methoxyphenyl)-4-methyl-5-ethyl-7,8-dimethoxy-5H-2,3-
benzodiazepine
FS STEREOSEARCH
MF C21 H24 N2 O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

FULL ESTIMATED COST

9.30

9.51

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=> s l3

L4 3 L3

=> d l4 bib ab 1-3

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:1080692 CAPLUS

DN 142:56375

TI Modulation of dopamine responses with substituted (S)-2,3-benzodiazepines

IN Leventer, Steven M.; Harris, Herbert W.; Kucharik, Robert F.

PA USA

SO U.S. Pat. Appl. Publ., 33 pp.

CODEN: USXXCO

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004254173	A1	20041216	US 2003-461290	20030613
PRAI	US 2003-461290		20030613		
OS	MARPAT 142:56375				

AB There is provided a method of modulating dopamine responses in the central nervous system of an individual or a method of treating a dopamine-mediated disorder in an individual not suffering from seizures or convulsions which comprises administering to the individual an effective amount of at least one compound of formula (I) [R1 = C1-7 hydrocarbyl or C2-6 heteroalkyl; R2 = H, C1-7 hydrocarbyl; wherein R1 and R2 may combine to form a carbocyclic or heterocyclic 5- or 6-membered ring; R3, R4, R5, R6 = OH, C1-7 hydrocarbyl, CF3, C1-7 hydrocarbyloxy, acyloxy, NH2, -NH(C1-6alkyl), -N(C1-6 alkyl)2, -NH-acyl, halogen; wherein R5 and R6 may combine to form a 5-, 6- or 7-membered heterocyclic ring] or pharmaceutically acceptable salts thereof or said compound comprising an (S)-enantiomer substantially free of the (R)-enantiomer of the same compound. The above dopamine-mediated disorder comprises a neurol. disorder or a neuropsychiatric disorder. The neurol. disorder includes Huntington's chorea, Parkinson's disease, periodic limb movement syndrome, restless leg syndrome, hyperkinesias, Tourette's syndrome, Pick's disease, punch drunk syndrome, progressive subnuclear palsy, multiple systems atrophy, Landau-Kleffner syndrome, benign essential blepharospasm, amyotrophic lateral sclerosis, medication-induced movement disorders, and cognitive disorders. The neuropsychiatric disorder includes psychosis, personality disorders, psychiatric mood disorders, conduct and impulse disorders, schizophrenia, bipolar disorders, dysphoric mania, anxiety disorders, depression, panic disorders, agoraphobia, obsessive-compulsive disorders and eating disorders. Thus, 4.41 g (10 mmol) 1-(3,4-dimethoxyphenyl)-3-methyl-4-ethyl-6,7-dimethoxyisobenzopyrilium chloride hydrochloride was dissolved in methanol (35 mL) at a temperature of 40°. After cooling to 20-25°, hydrazine hydrate (0.75 g, 15 mmol, dissolved in 5 mL methanol) was added and the resulting mixture was allowed to react while monitoring the reaction by HPLC and when complete, was evaporated to dryness. The residue was triturated with cold water (3 mL), filtered and dried to yield the crude 1-(3,4-dimethoxyphenyl)-4-methyl-5-ethyl-7-hydroxy-8-methoxy-5H-2,3-benzodiazepine (racemic tofisopam) which was subsequently triturated with hot EtOAc to yield the pure product. Racemic tofisopam was resolved by a Chirobiotic V column (ASTEAC, Whippany, N.J.) to give (R)-tofisopam and (S)-tofisopam. (R)-tofisopam did not affect apomorphine-induced hypothermia in mice. Racemic tofisopam at 64 mg/kg tended to behave as a weak dopamine antagonist, i.e., lowering the rectal temperature at the thirty and sixty minute time points. However this trend was not statistically significant. (S)-tofisopam behaved as a weak dopamine antagonist at the 16 mg/kg dose at sixty minutes after apomorphine administration, i.e., showing a slight but statistically significant elevation in temperature. At the higher doses, (S)-tofisopam demonstrated dopamine antagonism at both the thirty minute and sixty minute time points, i.e., lowering the rectal temperature at both time points.

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:995773 CAPLUS
DN 141:410971
TI A preparation of 2,3-benzodiazepine derivatives, useful as antipyretic agents
IN Harris, Herbert W.; Kucharik, Robert F.
PA Vela Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 23 pp., Cont.-in-part of U.S. Ser. No. 369,823.
CODEN: USXXCO
DT Patent
LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004229866	A1	20041118	US 2004-781422	20040217
	US 2004162284	A1	20040819	US 2003-369823	20030219
	US 2004224943	A1	20041111	US 2004-827839	20040419
PRAI	US 2003-369823	A2	20030219		
	US 2004-781422	A2	20040217		

OS MARPAT 141:410971

AB The invention relates to a preparation of 2,3-benzodiazepine derivs. of formula I [wherein: R1 is hydrocarbyl or heteroalkyl; R2 is H or hydrocarbyl; R1 and R2 may combine to form a (carbo/hetero)cyclic ring; R3 and R4 are independently selected from OH, SH, NO2, halogen, or S-alkyl, etc.; R5 is substituted phenyl], useful as antipyretic agents. For instance, (S)-2,3-benzodiazepine derivative II was prepared via heterocyclization of diketone III with hydrazine and subsequent resolution. The prepared title compds. were tested in stress-induced hypothermia assay. (S)-enantiomer of tofisopam showed higher activity than the racemate or the (R)-enantiomer [dose: 64 mg/kg, (S)-tofisopam: 33 °C, (R)-tofisopam: 35.25 °C, racemate: 33.75 °C].

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:633284 CAPLUS

DN 141:162379

TI Pharmaceutical composition of 1-(3-hydroxy-4-methoxyphenyl)-4-methyl-5-ethyl-7,8-dimethoxy-5H-2,3-benzodiazepine and uses thereof

IN Harris, Herbert W.; Leventer, Steven M.; Kucharik, Robert F.

PA Vela Pharmaceuticals, Inc., USA

SO U.S. Pat. Appl. Publ., 19 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004152695	A1	20040805	US 2003-728179	20031203
	CA 2510275	A1	20040819	CA 2003-2510275	20031203
	WO 2004069155	A2	20040819	WO 2003-US38641	20031203
	WO 2004069155	A3	20060112		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003303312	A1	20040830	AU 2003-303312	20031203
	EP 1575521	A2	20050921	EP 2003-815301	20031203
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006514084	T	20060427	JP 2004-568017	20031203
	MX 2005PA05893	A	20060208	MX 2005-PA5893	20050602
PRAI	US 2002-430770P	P	20021203		
	WO 2003-US38641	W	20031203		

AB Pharmaceutical compns. comprise 1-(3-hydroxy-4-methoxyphenyl)-4-methyl-5-ethyl-7,8-dimethoxy-5H-2,3-benzodiazepine, or a pharmaceutically acceptable salt thereof. The compns. are used for treating, preventing or delaying the onset of disorders mediated by LTB4 or TXA2.

=> file medicine

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=> s 13

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 L5 7 L3

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=> d l5 bib ab 1-7

L5 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2004:1080692 CAPLUS
 DN 142:56375
 TI Modulation of dopamine responses with substituted (S)-2,3-benzodiazepines
 IN Leventer, Steven M.; Harris, Herbert W.; Kucharik, Robert F.
 PA USA
 SO U.S. Pat. Appl. Publ., 33 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 2004254173	A1	20041216	US 2003-461290	20030613
PRAI	US 2003-461290		20030613		
OS	MARPAT 142:56375				

AB There is provided a method of modulating dopamine responses in the central nervous system of an individual or a method of treating a dopamine-mediated disorder in an individual not suffering from seizures or convulsions which comprises administering to the individual an effective amount of at least one compound of formula (I) [R1 = C1-7 hydrocarbyl or C2-6 heteroalkyl; R2 = H, C1-7 hydrocarbyl; wherein R1 and R2 may combine to form a carbocyclic or heterocyclic 5- or 6-membered ring; R3, R4, R5, R6 = OH, C1-7 hydrocarbyl, CF3, C1-7 hydrocarbyloxy, acyloxy, NH2, -NH(C1-6alkyl), -N(C1-6 alkyl)2, -NH-acyl, halogen; wherein R5 and R6 may combine to form a 5-, 6- or 7-membered heterocyclic ring] or pharmaceutically acceptable salts thereof or said compound comprising an (S)-enantiomer substantially free of the (R)-enantiomer of the same compound
 The above dopamine-mediated disorder comprises a neurol. disorder or a neuropsychiatric disorder. The neurol. disorder includes Huntington's chorea, Parkinson's disease, periodic limb movement syndrome, restless leg syndrome, hyperkinesias, Tourette's syndrome, Pick's disease, punch drunk syndrome, progressive subnuclear palsy, multiple systems atrophy, Landau-Kleffner syndrome, benign essential blepharospasm, amyotrophic

lateral sclerosis, medication-induced movement disorders, and cognitive disorders. The neuropsychiatric disorder includes psychosis, personality disorders, psychiatric mood disorders, conduct and impulse disorders, schizophrenia, bipolar disorders, dysphoric mania, anxiety disorders, depression, panic disorders, agoraphobia, obsessive-compulsive disorders and eating disorders. Thus, 4.41 g (10 mmol) 1-(3,4-dimethoxyphenyl)-3-methyl-4-ethyl-6,7-dimethoxyisobenzopyrilium chloride hydrochloride was dissolved in methanol (35 mL) at a temperature of 40°. After cooling to 20-25°, hydrazine hydrate (0.75 g, 15 mmol, dissolved in 5 mL methanol) was added and the resulting mixture was allowed to react while monitoring the reaction by HPLC and when complete, was evaporated to dryness. The residue was triturated with cold water (3 mL), filtered and dried to yield the crude 1-(3,4-dimethoxyphenyl)-4-methyl-5-ethyl-7-hydroxy-8-methoxy-5H-2,3-benzodiazepine (racemic tofisopam) which was subsequently triturated with hot EtOAc to yield the pure product. Racemic tofisopam was resolved by a Chirobiotic V column (ASTEAC, Whippany, N.J.) to give (R)-tofisopam and (S)-tofisopam. (R)-tofisopam did not affect apomorphine-induced hypothermia in mice. Racemic tofisopam at 64 mg/kg tended to behave as a weak dopamine antagonist, i.e., lowering the rectal temperature at the thirty and sixty minute time points. However this trend was not statistically significant. (S)-tofisopam behaved as a weak dopamine antagonist at the 16 mg/kg dose at sixty minutes after apomorphine administration, i.e., showing a slight but statistically significant elevation in temperature. At the higher doses, (S)-tofisopam demonstrated dopamine antagonism at both the thirty minute and sixty minute time points, i.e., lowering the rectal temperature at both time points.

L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2004:995773 CAPLUS
 DN 141:410971
 TI A preparation of 2,3-benzodiazepine derivatives, useful as antipyretic agents
 IN Harris, Herbert W.; Kucharik, Robert F.
 PA Vela Pharmaceuticals, Inc., USA
 SO U.S. Pat. Appl. Publ., 23 pp., Cont.-in-part of U.S. Ser. No. 369,823.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004229866	A1	20041118	US 2004-781422	20040217
	US 2004162284	A1	20040819	US 2003-369823	20030219
	US 2004224943	A1	20041111	US 2004-827839	20040419
PRAI	US 2003-369823	A2	20030219		
	US 2004-781422	A2	20040217		

OS MARPAT 141:410971
 AB The invention relates to a preparation of 2,3-benzodiazepine derivs. of formula I [wherein: R1 is hydrocarbyl or heteroalkyl; R2 is H or hydrocarbyl; R1 and R2 may combine to form a (carbo/hetero)cyclic ring; R3 and R4 are independently selected from OH, SH, NO2, halogen, or S-alkyl, etc.; R5 is substituted phenyl], useful as antipyretic agents. For instance, (S)-2,3-benzodiazepine derivative II was prepared via heterocyclization of diketone III with hydrazine and subsequent resolution. The prepared title compds. were tested in stress-induced hypothermia assay. (S)-enantiomer of tofisopam showed higher activity than the racemate or the (R)-enantiomer [dose: 64 mg/kg, (S)-tofisopam: 33 °C, (R)-tofisopam: 35.25 °C, racemate: 33.75 °C].

L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2004:633284 CAPLUS
 DN 141:162379
 TI Pharmaceutical composition of 1-(3-hydroxy-4-methoxyphenyl)-4-methyl-5-ethyl-7,8-dimethoxy-5H-2,3-benzodiazepine and uses thereof
 IN Harris, Herbert W.; Leventer, Steven M.; Kucharik, Robert F.

PA Vela Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 19 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004152695	A1	20040805	US 2003-728179	20031203
	CA 2510275	A1	20040819	CA 2003-2510275	20031203
	WO 2004069155	A2	20040819	WO 2003-US38641	20031203
	WO 2004069155	A3	20060112		
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	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003303312	A1	20040830	AU 2003-303312	20031203
	EP 1575521	A2	20050921	EP 2003-815301	20031203
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
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	MX 2005PA05893	A	20060208	MX 2005-PA5893	20050602
PRAI	US 2002-430770P	P	20021203		
	WO 2003-US38641	W	20031203		

AB Pharmaceutical compns. comprise 1-(3-hydroxy-4-methoxyphenyl)-4-methyl-5-ethyl-7,8-dimethoxy-5H-2,3-benzodiazepine, or a pharmaceutically acceptable salt thereof. The compns. are used for treating, preventing or delaying the onset of disorders mediated by LTB4 or TXA2.

L5 ANSWER 4 OF 7 TOXCENTER COPYRIGHT 2007 ACS on STN
AN 2004:187210 TOXCENTER
CP Copyright 2007 ACS
DN CA14110162379N

TI Pharmaceutical composition of 1-(3-hydroxy-4-methoxyphenyl)-4-methyl-5-ethyl-7,8-dimethoxy-5H-2,3-benzodiazepine and uses thereof

AU Harris, Herbert W.; Leventer, Steven M.; Kucharik, Robert F.

CS ASSIGNEE: Vela Pharmaceuticals, Inc.

PI US 2004152695 A1 5 Aug 2004

SO (2004) U.S. Pat. Appl. Publ., 19 pp.

CODEN: USXXCO.

CY UNITED STATES

DT Patent

FS CAPLUS

OS CAPLUS 2004:633284

LA English

ED Entered STN: 24 Aug 2004

Last Updated on STN: 23 Jan 2007

AB Pharmaceutical compns. comprise 1-(3-hydroxy-4-methoxyphenyl)-4-methyl-5-ethyl-7,8-dimethoxy-5H-2,3-benzodiazepine, or a pharmaceutically acceptable salt thereof. The compns. are used for treating, preventing or delaying the onset of disorders mediated by LTB4 or TXA2.

L5 ANSWER 5 OF 7 USPATFULL on STN

AN 2004:321518 USPATFULL

TI Modulation of dopamine responses with substituted (S)-2,3-benzodiazepines

IN Leventer, Steven M., Langhorne, PA, UNITED STATES

Harris, Herbert W., Merion, PA, UNITED STATES

Kucharik, Robert F., Glenmoore, PA, UNITED STATES

PI US 2004254173 A1 20041216
AI US 2003-461290 A1 20030613 (10)
DT Utility
FS APPLICATION
LREP DRINKER BIDDLE & REATH, ONE LOGAN SQUARE, 18TH AND CHERRY STREETS,
PHILADELPHIA, PA, 19103-6996
CLMN Number of Claims: 34
ECL Exemplary Claim: 1
DRWN 11 Drawing Page(s)
LN.CNT 1608
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds according to formula I: ##STR1##

wherein R.sup.1, R.sup.2, R.sup.3, R.sup.4, R.sup.5 and R.sup.6 are as defined herein, and wherein the compound comprises the (S)-enantiomer, administered for modulation of dopamine responses and treatment of dopamine-mediated disorders.

L5 ANSWER 6 OF 7 USPATFULL on STN
AN 2004:292777 USPATFULL
TI Method of lowering body temperature with (S)-2,3-benzodiazepines
IN Harris, Herbert W., Merion, PA, UNITED STATES
Kucharik, Robert F., Glenmoore, PA, UNITED STATES
PA Vela Pharmaceuticals, Inc., Lawrenceville, NJ (U.S. corporation)
PI US 2004229866 A1 20041118
AI US 2004-781422 A1 20040217 (10)
RLI Continuation-in-part of Ser. No. US 2003-369823, filed on 19 Feb 2003,
PENDING
DT Utility
FS APPLICATION
LREP DRINKER BIDDLE & REATH, ONE LOGAN SQUARE, 18TH AND CHERRY STREETS,
PHILADELPHIA, PA, 19103-6996
CLMN Number of Claims: 31
ECL Exemplary Claim: 1
DRWN 2 Drawing Page(s)
LN.CNT 1692
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB ##STR1##

An (S)-2,3-benzodiazepine of Formula I, substantially isolated from the corresponding (R)-enantiomer thereof, is administered to lower the body temperature of an individual.

L5 ANSWER 7 OF 7 USPATFULL on STN
AN 2004:197389 USPATFULL
TI Pharmaceutical composition of 1-(3-hydroxy-4-methoxyphenyl)-4-methyl-5-ethyl-7,8-dimethoxy-5H-2,3-benzodiazepine and uses thereof
IN Harris, Herbert W., Merion, PA, UNITED STATES
Leventer, Steven M., Langhorne, PA, UNITED STATES
Kucharik, Robert F., Glenmoore, PA, UNITED STATES
PA Vela Pharmaceuticals, Inc., Lawrenceville, NJ (U.S. corporation)
PI US 2004152695 A1 20040805
AI US 2003-728179 A1 20031203 (10)
PRAI US 2002-430770P 20021203 (60)
DT Utility
FS APPLICATION
LREP DRINKER BIDDLE & REATH, ONE LOGAN SQUARE, 18TH AND CHERRY STREETS,
PHILADELPHIA, PA, 19103-6996
CLMN Number of Claims: 40
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1559
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Pharmaceutical compositions comprise 1-(3-hydroxy-4-methoxyphenyl)-4-methyl-5-ethyl-7,8-dimethoxy-5H-2,3-benzodiazepine, or a

pharmaceutically acceptable salt thereof. The compositions are used for treating, preventing or delaying the onset of disorders mediated by LTB.sub.4 or TXA.sub.2.

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tofisopam precursor

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M Rizzo - Journal of Chromatography B: Biomedical Sciences and ... , 2000 - Elsevier

... a **precursor** of the pharmacologically active compound. The metabolic pathway of 2,3-BZs has been extensively studied in different species. **Tofisopam** metabolites ...

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Recent Advances in the Clinical Pharmacology of Benzodiazepines Part I: Pharmacokinetics

BS MD, GPMDS Psychiatrist - HUMAN PSYCHOPHARMACOLOGY, 1987 - doi.wiley.com

... At the other extreme is another desmethyldiazepam **precursor**, prazepam. It is slowly transformed into the active substance ... Triazolam Brotizolam **Tofisopam** ...

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M Zappalà, S Grasso, N Micale, S Polimeni, C De ... - Mini Rev Med Chem, 2001 - ingentaconnect.com

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TRAITEMENT DE LA DYSKINÉSIE AVEC DES 2, 3- ...

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OF **TOFISOPAM** ON THE ACTIONS INDUCED BY L - DOPA ADMINISTRATION IN THE ...

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Controlled racemization of optically active organic compounds: Prospects for asymmetric ... - all 6 versions »

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Targeted ultrasound contrast agents

US Patent 6,264,917, 2001 - freepatentsonline.com

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Diagnostic/therapeutic agents having microbubbles coupled to one or more vectors

US Patent 6,261,537, 2001 - freepatentsonline.com

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tofisopam metabolite

- 2002

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M Rizzo - Journal of Chromatography B: Biomedical Sciences and ..., 2000 - Elsevier ... 38]. The use of dimethyl silicone stationary phase gave better separation of **Tofisopam metabolites** than the cyanoethyl phase [48]. ...

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[... for treating and preventing anxiety and anxiety disorders using optically pure \(R\) tofisopam - all 3 versions »](#)

DW Landry, DF Klein... - US Patent 6,080,736, 2000 - Google Patents

... binding of BDZs is not due simply to pharmacokinetic factors since brain levels of diazepam and its **metabolite** desmethyldiazepam were unmodified by **tofisopam**. ...

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[Factors influencing monoamine metabolites and tryptophan in patients with alcohol dependence - all 3 versions »](#)

CM Banki - Journal of Neural Transmission, 1981 - Springer

... 91 conditions known to alter **metabolite** levels from sources other than central amine ... patients in the first days of abstinence received only **tofisopam**--a minor ...

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[A comparison of the psychotropic profiles of tofisopam and diazepam](#)

A Bond, M Lader - European Journal of Clinical Pharmacology, 1982 - Springer

... is slowly absorbed and distributed to the brain or it is a pro-drug and is transformed to an active **metabolite**. In vitro studies showed that **tofisopam** did not ...

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[The so-called "interconversion" of stereoisomeric drugs: An attempt at clarification - all 3 versions »](#)

B Testa, PA Carrupt, J Gal - Chirality, 1993 - doi.wiley.com

... **Tofisopam** thus offers an interesting and pharmacologically relevant example of ... stereose-

lectivity while the hydrogenation of the ketone **metabolite** is product ...

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[Use of high-performance liquid chromatography with photodiode-array UV detection for the creation of ... - all 4 versions »](#)

Y Gaillard, G Pépin - Journal of Chromatography A, 1997 - Elsevier

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BS MD, GPMDS Psychiatrist - HUMAN PSYCHOPHARMACOLOGY, 1987 - doi.wiley.com

... Parent drug Active **metabolite** Elimination half-live Reference (h) Meanor Range median Midazolam Triazolam Brotizolam **Tofisopam** Cinolazepam ...

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Absence of Liver DNA Fragmentation in Rats Treated with High Oral Doses of 32 Benzodiazepine Drugs - all 3 versions »

PIA CARLO, F RENATA, A LEDDA, G BRAMBILLA - Toxicological Sciences, 2001 - Soc Toxicology

... diazepam, flunitrazepam, flurazepam, medazepam, nitrazepam, and **tofisopam**) the dose ... these drugs are extensively transformed into **metabolites**, and because ...

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Tofizopam: a benzodiazepine derivative without sedative effect.

J Kanto, L Kangas, T Leppanen, M Mansikka, ML ... - Int J Clin Pharmacol Ther Toxicol, 1982 - ncbi.nlm.nih.gov

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... prazepam; 15 = clobazam; 16 = **tofisopam**) were dissolved in methanol at a concentration of 1 mg/ml; 500 nl of ... (TDGA) to be the only CMC-**metabolite** detectable in ...

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